EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp		
L5	2571	((544/362) or (544/370) or (544/372) or (544/373)).CCLS.	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:39		
L6	143	biphenyl-4-ylmethyl	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:41		
L7	5	I5 and I6	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:41		
L8	908	(544/364).CCLS.	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:42		
L9	441	pyridinyl near3 benzyl	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:42		
L10	2238	pyridyl near3 benzyl	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:43		
L11	45	l8 and (l9 or l10)	US-PGPUB; USPAT	OR	OFF	2006/03/06 14:43		

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Parb 2

e15-20-23

28 33 30 33 31 12 33 17

62 62 62 62 62 62 62 63 17
```

```
7 15 16 17 18 19 20 21 22 28 30 32 33 34 35 36 37 39
ring nodes :
    1 2 3 4 5 6 9 10 11 12 13 14
ring/chain nodes :
    23
chain bonds :
    1-37 1-39 2-35 2-36 3-28 4-30 4-32 5-33 5-34 6-7 7-10 15-16 15-17 15-18
    19-20 20-21 20-22 20-23
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14
exact/norm bonds :
    1-2 \quad 1-6 \quad 1-37 \quad 1-39 \quad 2-3 \quad 2-35 \quad 2-36 \quad 3-4 \quad 3-28 \quad 4-5 \quad 4-30 \quad 4-32 \quad 5-6 \quad 5-33 \quad 5-34 \quad 6-7
    7-10 15-18 19-20 20-21 20-22 20-23
exact bonds :
    15-16 15-17
normalized bonds :
    9-10 9-14 10-11 11-12 12-13 13-14
isolated ring systems :
    containing 1 : 9 :
G1:OH, X, [*1]
G2:C,H
Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:Atom 10:Atom 11:Atom 12:Atom
    13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
    22:CLASS 23:CLASS 26:CLASS 28:CLASS 30:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS
    36:CLASS 37:CLASS 39:CLASS
Generic attributes :
```

chain nodes :

7:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

Element Count :

Node 7: Limited

N,N1-2 O,O0 S,S0

=> s l1

SAMPLE SEARCH INITIATED 13:15:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40528 TO ITERATE

4.9% PROCESSED 2000 ITERATIONS

0 ANSWERS

3 ANSWERS

TOTAL

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 798539 TO 822581

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:16:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 808395 TO ITERATE

100.0% PROCESSED 808395 ITERATIONS

SEARCH TIME: 00.00.10

L3 3 SEA SSS FUL L1

=> file caplus

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ENTRY SESSION 167.38 167.59

FULL ESTIMATED COST

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=> s 13

L4 2 L3

=> d l4 1-2 bib abs hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN AN 2005:564657 CAPLUS

DN 143:97383

TI Preparation of pyrazines as protein kinase, especially pUL-97 kinase, inhibitors for treatment of infectious diseases, particularly human cytomegaloviral infections

IN Eikhoff, Jan Eike; Ashton, Mark Richard; Courtney, Stephen Martin; Yarnold, Christopher John; Varrone, Maurizio; Loke, Pui Leng; Herget, Thomas; Schwab, Wilfried; Hafenbradl, Doris

PA Axxima Pharmaceuticals A.-G., Germany

SO PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

GΙ

PATENT NO. KIND DATE APPLICATION NO. DATE - - - ------------ΡI WO 2005058876 A1 20050630 WO 2004-EP14371 20041216 2005058876
A1 20050630
WO 2004-EP14371
20041216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG MR, NE, SN, TD, TG PRAI EP 2003-29038 20031216 Α US 2003-530612P Р 20031219 os MARPAT 143:97383

$$\begin{array}{c|c}
R^1 & N & R^2 \\
R^5 & N & R^3 \\
\vdots & \vdots & \vdots \\
R^4 & I
\end{array}$$

AB The invention is related to the prepn. of title compds. I, and/or

II

IT

RN CN

stereoisomeric forms, prodrugs, and/or pharmaceutically acceptable salts [wherein R1, R2 = independently H, F, Cl, BR, OH, (un) substittued alk(en/yn)yl, etc.; R3 = (un)substituted cycloalkyl, hetero/aryl, heterocyclyl; R4 = H, alkyl; R5 = H, (un) substituted alkyl, hetero/aryl, heterocyclyl, etc.; R4NR5 = (un) substituted mononitrogen or dinitrogen ring] as protein kinase inhibitors for use in the prophylaxis and/or treatment of infectious diseases, including opportunistic diseases, prion diseases, immunol. diseases, autoimmune diseases, bipolar and clin. disorders, cardiovascular diseases, cell proliferative diseases, diabetes, inflammation, transplant rejections, erectile dysfunction, neurodegenerative diseases and stroke and esp. for the treatment of herpesviral induced infections, including opportunistic infections and infections and diseases caused by human cytomegalovirus (HCMV). For example, II was prepd. by monoacylation of 2,6-dichloropyrazine with 1-(4-pyridinyl)piperazine and coupling of the chloride with (4-aminocarbonylphenyl)boronic acid. I have an inhibitory effect on the protein kinase activity of various protein kinases, such as pUL-97, EGFR, , etc. I were potent inhibitors of HCMV replication in cell cultures; I showed inhibition of HCMV replication in HFF cells (IC50 < 3 .mu.M). I did not show any or low toxicity up to concns. of 10 .mu.M in HFF cells. **856002-34-1P**, (Furan-2-yl) [6'-(3-hydroxymethylphenyl)-2,3,5,6tetrahydro-[1,2']bipyrazinyl-4-yl]methanone 856002-39-6P, 1-[3-[4-[2-(Pyrrolidin-1-yl)ethyl]-2,3,5,6-tetrahydro-[1,2']bipyrazinyl-6'yl]phenyl]methanol RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of pyrazines as protein kinase, esp. pUL-97 kinase, inhibitors for treatment of infectious diseases, particularly human cytomegaloviral infections) 856002-34-1 CAPLUS
Piperazine, 1-(2-furanylcarbonyl)-4-[6-[3-(hydroxymethyl)phenyl]pyrazinyl]-(9CI) (CA INDEX NAME)

RN 856002-39-6 CAPLUS
CN Benzenemethanol, 3-[6-[4-[2-(1-pyrrolidinyl)ethyl]-1piperazinyl]pyrazinyl]- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
L4
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AN 2005:116083 CAPLUS

DN142:198092

ΤI A preparation of 2-aminopyrimidine derivatives, useful as histamine H4 receptor antagonists

IN Sato, Hiroki; Fukushima, Keiko; Shimazaki, Makoto; Urbahns, Klaus; Sakai, Katsuya; Gantner, Florian; Bacon, Kevin

PA Bayer Healthcare AG, Germany

SO Eur. Pat. Appl., 38 pp. CODEN: EPXXDW

DTPatent

English LA

FAN.	CNT	1																
	PATENT NO.				KIND		DATE			APPLICATION NO.					DATE			
PΙ	ΕP	1505064			A1 20050209			EP 2003-17810						20030805				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
								RO,										•
	WO								WO 2004-EP8225						20040723			
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
								PL,										
								TZ,										
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
								RU,										
								GR,										
			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
				TD,												•	-	•
PRAI	ΕP	2003	-178:	10		A		20030	0805									
00	CACREAGE 142 100002 MARRAE 140 100000																	

os CASREACT 142:198092; MARPAT 142:198092

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to a prepn. of novel 2-aminopyrimidine derivs. of AB formula I [wherein: R1 is pyrrolidine or piperazine deriv. attached to the pyrimidine ring via nitrogen atom; R2 is Ph or naphthyl deriv.], useful as histamine H4 receptor antagonists. The 2-aminopyrimidine derivs. of the present invention are useful for treatment and prophylaxis of diseases such as asthma, rhinitis, allergic diseases, chronic obstructed pulmonary

TT

disease (CORD), atherosclerosis, and rheumatoid arthritis. For instance, 2-aminopyrimidine deriv. II.bul.3HCl was prepd. via amination of 2-amino-4,6-dichloropyrimidine by aminopyrrolidine deriv. III, phenylation of the obtained amino(aminopyrrolidinyl)pyrimidine deriv. by PhB(OH)2, and subsequent N-cleavage (yields: amination - 66%, phenylation - 89%). For instance, IC50 for the invention compd. IV.bul.3HCl was < 20 nM. 838872-00-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-aminopyrimidine derivs. useful as histamine H4 receptor antagonists)

RN 838872-00-7 CAPLUS

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L5 0 L3

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